

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
11 August 2005 (11.08.2005)

PCT

(10) International Publication Number  
**WO 2005/072385 A3**

(51) International Patent Classification:  
A61K 38/00 (2006.01) A61K 38/16 (2006.01)

(21) International Application Number:  
PCT/US2005/002609

(22) International Filing Date: 27 January 2005 (27.01.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/539,550 27 January 2004 (27.01.2004) US  
60/566,499 29 April 2004 (29.04.2004) US

(71) Applicant (for all designated States except US): BAYER  
PHARMACEUTICALS CORPORATION [US/US];  
400 Morgan Lane, West Haven, Connecticut 06516 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CLAIRMONT,  
Kevin [US/US]; 80 Merwin Circle, Cheshire, Connecticut  
06410 (US). LUMB, Kevin, J. [US/US]; 520 Granite  
Road, Guilford, Connecticut 06437 (US). BUCKHOLZ,  
Thomas [US/US]; 10 Morehouse Avenue, Milford, Con-  
necticut 06460 (US). SALHANICK, Arthur, I. [US/US];  
430 Bellevue Road, New Haven, Connecticut 06511 (US).

(74) Agents: GREENMAN, Jeffrey, M. et al.; Bayer Phar-  
maceuticals Corporation, 400 Morgan Lane, West Haven,  
Connecticut 06516 (US).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,  
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,  
GQ, GW, ML, MR, NE, SN, TD, TG).

**Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be granted a  
patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the  
earlier application (Rule 4.17(iii))
- of inventorship (Rule 4.17(iv))

**Published:**

- with international search report

(88) Date of publication of the international search report:  
8 June 2006

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: PITUITARY ADENYLATE CYCLASE ACTIVATING PEPTIDE (PACAP) RECEPTOR (VPAC2) AGONISTS AND  
THEIR PHARMACOLOGICAL METHODS OF USE

(57) Abstract: This invention provides novel peptides that function in vivo as agonists of the VPAC2 receptor. These insulin  
secretagogue polypeptides are shown to lower blood glucose in vivo upon glucose challenge. The polypeptides of this invention  
are also stable in formulation and have long half-lives. The peptides of the present invention provide a therapy for patients with  
decreased endogenous insulin secretion, for example, type 2 diabetics. The invention is also directed to a method of treating a  
metabolic disease in a mammal comprising administering a therapeutically effective amount of the peptides to said mammal.

WO 2005/072385 A3